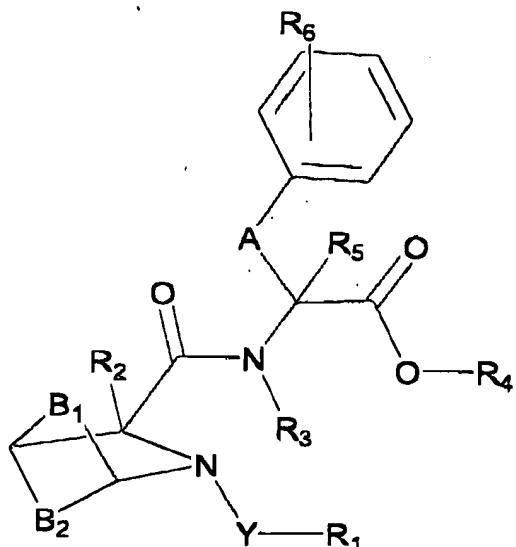


Serial No. 09/891,602

Amendments to the Claims

Please amend the following claims:

Claim 1. (Previously Amended) A compound of Formula (I):



Formula (I)

wherein

Y is selected from the group consisting of a bond, -C(O)-, -C(O)O-, -C(O)NH- and -SO₂-;

R₁ is selected from the group consisting of R₇ and R₈;

Serial No. 09/891,602

R₂, R₃, R₄ and R₅ are independently selected from the group consisting of a bond, hydrogen and C₁₋₈alkyl; wherein C₁₋₈alkyl is optionally substituted with one to three substituents independently selected from R₉, provided that R₂, R₃, R₄ or R₅ can only be a bond when forming a monocyclic ring wherein the following monocyclic rings may be formed from R₂, R₃, R₄ and R₅:

cont'd
when R₂ and R₃ comprise a bond and C₁₋₈alkyl or optionally when both R₂ and R₃ are C₁₋₈alkyl, R₂ and R₃ together with the atoms to which each is attached will form a four to seven membered monocyclic ring optionally containing one to two additional heteroatoms independently selected from the group consisting of N, O and S;

when R₃ and R₄ comprise a bond and C₁₋₈alkyl or optionally when both R₃ and R₄ are C₁₋₈alkyl, R₃ and R₄ together with the atoms to which each is attached will form a five to seven membered monocyclic ring optionally containing one to two additional heteroatoms independently selected from the group consisting of N, O and S;

when R₃ and R₅ comprise a bond and C₁₋₈alkyl or optionally when both R₃ and R₅ are C₁₋₈alkyl, R₃ and R₅ together with the atoms to which each is attached will form a four to seven membered monocyclic ring optionally containing one to two additional heteroatoms independently selected from the group consisting of N, O and S;

when R₄ and R₅ comprise a bond and C₁₋₈alkyl, or optionally when both R₄ and R₅ are C₁₋₈alkyl, R₄ and R₅ together with the atoms to which each is attached will form a four to seven membered monocyclic ring optionally containing one

Serial No. 09/891,602

to two additional heteroatoms independently selected from the group consisting of N, O and S;

R₆ is optionally present and is one to three substituents independently selected from the group consisting of halogen, C₁₋₈alkoxy, R₁₀, R₁₂, -N(R₁₁)C(O)-R₁₀, -N(R₁₁)C(O)-R₁₂, -N(R₁₁)SO₂-R₁₀, -N(R₁₁)SO₂-R₁₂, -N(R₁₁)C(O)-N(R₁₁, R₁₀), -N(R₁₁)C(O)-N(R₁₁, R₁₂), -N(R₁₁)C(O)-N(R₁₂, R₁₇), -C(O)-N(R₁₁, R₁₀), -C(O)-N(R₁₁, R₁₂), -C(O)-N(R₁₂, R₁₇), -OC(O)-N(R₁₁, R₁₀), -OC(O)-N(R₁₁, R₁₂), -OC(O)-N(R₁₂, R₁₇), -OC(O)-R₁₀, -OC(O)-R₁₂, -O-R₁₀ and R₁₀-(C₁₋₈)alkoxy;

R₇, R₉, R₁₀ and R₁₄ are independently selected from the group consisting of cycloalkyl, heterocyclyl, aryl and heteroaryl optionally substituted with one to five substituents independently selected from the group consisting of halogen, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, C₁₋₈alkylcarbonyl, C₁₋₈alkoxycarbonyl, carboxyl, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃; wherein cycloalkyl and heterocyclyl are optionally substituted with one to three oxo substituents; and, wherein the aryl and heteroaryl substituents and the aryl portion of the arylcarbonyl substituent are optionally substituted with one to five substituents independently selected from the group consisting of halogen, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, carboxyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃;

R₈, R₁₂, R₁₃ and R₁₇ are independently selected from the group consisting of C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, and (halo)₁₋₃(C₁₋₈)alkyl; wherein C₁₋₈alkyl, C₂₋₈alkenyl and C₂₋₈alkynyl are optionally substituted on a terminal carbon

Serial No. 09/891,602

with one to three substituents independently selected from R₁₄;

R₁₁ is selected from the group consisting of hydrogen and C₁₋₈alkyl;

A is C₁₋₄alkylene optionally substituted with one to two substituents independently selected from R₁₃;

when R₃ is C₁₋₈alkyl, optionally A and R₃ together with the atoms to which each is attached may form a five to seven membered monocyclic ring optionally containing one to two additional heteroatoms independently selected from the group consisting of N, O and S;

when R₄ is C₁₋₈alkyl, optionally A and R₄ together with the atoms which each is attached may form a five to seven membered monocyclic ring optionally containing one additional heteroatom selected from the group consisting of N, O and S;

when R₅ is C₁₋₈alkyl, optionally A and R₅ together with the atoms which each is attached may form a three to seven membered monocyclic ring optionally containing one to two heteroatoms independently selected from the group consisting of N, O and S; and,

B₁ and B₂ are independently selected from the group consisting of C₁₋₂alkylene and C₂alkenylene optionally substituted with one to two substituents independently selected from the group consisting of halogen, hydroxy, hydroxy(C₁₋₈)alkyl, hydroxy(C₁₋₈)alkoxy, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, carboxyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃;

Serial No. 09/891,602

and pharmaceutically acceptable salts, racemic mixtures, diastereomers and enantiomers thereof.

(Handwritten mark)
Claim 2. (Original) The compound of claim 1 wherein Y is selected from the group consisting of -C(O)- and -SO₂-.

Claim 3. (Original) The compound of claim 1 wherein Y is selected from -SO₂-.

Claim 4. (Original) The compound of claim 1 wherein R₁ is selected from R₇.

Claim 5. (Original) The compound of claim 1 wherein R₂, R₃, R₄ and R₅ are independently selected from the group consisting of hydrogen and C₁₋₄alkyl.

Claim 6. (Original) The compound of claim 1 wherein R₂, R₃, R₄ and R₅ are independently selected from the group consisting of hydrogen and methyl.

Claim 7. (Original) The compound of claim 1 wherein R₆ is optionally present and is one to three substituents independently selected from the group consisting of halogen, C₁₋₈alkoxy, R₁₀, R₁₂, -N(R₁₁)C(O)-R₁₀, -N(R₁₁)C(O)-R₁₂, -N(R₁₁)SO₂-R₁₀-, -N(R₁₁)C(O)-N(R₁₁, R₁₂), -N(R₁₁)C(O)-N(R₁₂, R₁₇), -OC(O)-N(R₁₁, R₁₂), -OC(O)-N(R₁₂, R₁₇), -OC(O)-R₁₀ and R₁₀-(C₁₋₈)alkoxy.

Claim 8. (Original) The compound of claim 1 wherein R₆ is optionally present and is one to three substituents independently selected from the group consisting of halogen,

Serial No. 09/891,602

C₁₋₄alkoxy, R₁₀, R₁₂, -N(R₁₁)C(O)-R₁₀, -N(R₁₁)C(O)-R₁₂, -N(R₁₁)SO₂-R₁₀-, -N(R₁₁)C(O)-N(R₁₁, R₁₂), -N(R₁₁)C(O)-N(R₁₂, R₁₇), -OC(O)-N(R₁₁, R₁₂), -OC(O)-N(R₁₂, R₁₇), -OC(O)-R₁₀ and R₁₀-(C₁₋₄)alkoxy.

Claim 9. (Original) The compound of claim 1 wherein R₆ is optionally present and is one to two substituents independently selected from the group consisting of R₁₀, -N(R₁₁)C(O)-R₁₀, -N(R₁₁)C(O)-N(R₁₁, R₁₂), -N(R₁₁)C(O)-N(R₁₂, R₁₇), -OC(O)-N(R₁₁, R₁₂), -OC(O)-N(R₁₂, R₁₇) and R₁₀-methoxy.

Claim 10. (Original) The compound of claim 1 wherein R₇ is selected from the group consisting of aryl and heteroaryl optionally substituted with one to five substituents independently selected from the group consisting of halogen, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, C₁₋₈alkylcarbonyl, C₁₋₈alkoxycarbonyl, carboxyl, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃; and, wherein the aryl and heteroaryl substituents and the aryl portion of the arylcarbonyl substituent are optionally substituted with one to five substituents independently selected from the group consisting of halogen, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, carboxyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃.

Claim 11. (Original) The compound of claim 1 wherein R₁₀ is selected from the group consisting of cycloalkyl, heterocyclyl, aryl and heteroaryl optionally substituted with one to five substituents independently selected from the group consisting of halogen, C₁₋₈alkyl, C₁₋₈alkoxy, C₁₋₈alkoxycarbonyl, carboxyl, arylcarbonyl, arylsulfonyl, -CF₃ and -OCF₃; wherein cycloalkyl and heterocyclyl are optionally substituted with one to three oxo substituents ; and, wherein the aryl portion

Serial No. 09/891,602

of the arylcarbonyl substituent is optionally substituted with one to five substituents independently selected from C₁₋₈alkoxy.

Claim 12. (Original) The compound of claim 1 wherein R₁₀ is selected from the group consisting of cyclopropyl, 1,3-dihydro-2H-isoindolyl, 2-azabicyclo[2.2.2]octyl, piperidinyl, morpholinyl, phenyl, naphthalenyl, thienyl, 1H-pyrrolyl and pyridinyl; wherein cyclopropyl, piperidinyl, morpholinyl, phenyl, naphthalenyl, thienyl, 1H-pyrrolyl and pyridinyl are optionally substituted with one to four substituents independently selected from the group consisting of chlorine, fluorine, bromine, methyl, isopropyl, t-butyl, methoxy, t-butoxycarbonyl, carboxyl, phenylcarbonyl, -CF₃ and -OCF₃; wherein 1,3-dihydro-2H-isoindolyl is optionally substituted with oxo; wherein 2-azabicyclo[2.2.2]octyl is optionally substituted with phenylsulfonyl, and, wherein the phenyl portion of the phenylcarbonyl substituent is optionally substituted with one to two substituents independently selected from methoxy.

Claim 13. (Original) The compound of claim 1 wherein R₁₂ is selected from the group consisting of C₁₋₈alkyl and C₂₋₈alkynyl optionally substituted on a terminal carbon with R₁₄.

Claim 14. (Original) The compound of claim 1 wherein R₁₂ is selected from the group consisting of C₁₋₄alkyl and C₂₋₄alkynyl optionally substituted on a terminal carbon with R₁₄.

Claim 15. (Original) The compound of claim 1 wherein R₁₂ is selected from the group consisting of t-butyl and ethynyl; wherein ethynyl is optionally substituted on a terminal carbon with a substituent independently selected from R₁₄.

Serial No. 09/891,602

Claim 16. (Original) The compound of claim 1 wherein R₁₄ is selected from the group consisting of aryl optionally substituted with one to five substituents independently selected from the group consisting of halogen, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, C₁₋₈alkylcarbonyl, C₁₋₈alkoxycarbonyl, carboxyl, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃; and, wherein the aryl and heteroaryl substituents and the aryl portion of the arylcarbonyl substituent are optionally substituted with one to five substituents independently selected from the group consisting of halogen, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, carboxyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃.

Claim 17. (Original) The compound of claim 1 wherein R₁₁ is selected from the group consisting of hydrogen and C₁₋₄alkyl.

Claim 18. (Original) The compound of claim 1 wherein R₁₁ is hydrogen.

Claim 19. (Original) The compound of claim 1 wherein A is selected from the group consisting of methylene and ethylene.

Claim 20. Canceled

20
Claim 21. (Original) The compound of claim 1 wherein B₁ and B₂ are independently selected from the group consisting of -CH₂- , -(CH₂)₂- and -(CH₂)₃- optionally substituted with one to two substituents independently selected from the group consisting of halogen, hydroxy, hydroxy(C₁₋₄)alkyl, hydroxy(C₁₋₄)alkoxy, C₁₋₄alkyl, C₂₋₄alkenyl, C₂₋₄alkynyl,

Serial No. 09/891,602

C₁₋₄alkoxy, carboxyl, amino, N-(C₁₋₄alkyl)amino,
N,N-(C₁₋₄dialkyl)amino, -CF₃ and -OCF₃.

2)

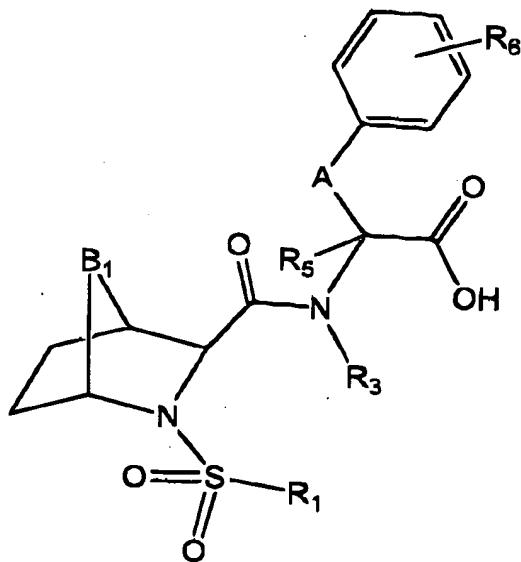
Claim 21. (Original) The compound of claim 1 wherein B₁ is selected from the group consisting of -CH₂- , -(CH₂)₂- and -(CH₂)₃- optionally substituted with one to two substituents independently selected from the group consisting of halogen, hydroxy, hydroxy(C₁₋₄)alkyl, hydroxy(C₁₋₄)alkoxy, C₁₋₄alkyl, C₂₋₄alkenyl, C₂₋₄alkynyl, C₁₋₄alkoxy, carboxyl, amino, N-(C₁₋₄alkyl)amino, N,N-(C₁₋₄dialkyl)amino, -CF₃ and -OCF₃; and, wherein, B₂ is selected from -(CH₂)₂- .

22

Claim 22. (Original) The compound of claim 1 wherein B₁ is selected from the group consisting of -CH₂- , -(CH₂)₂- and -(CH₂)₃- .

23

Claim 23. (Original) The compound of claim 1 wherein the compound of Formula (I) is selected from a compound of the formula:



wherein B₁, R₁, R₃, R₅, A and R₆ are dependently selected from the group consisting of:

Serial No. 09/891,602

R₁	R₂	R₃	R₅	A	R₆
(CH ₂) ₂	4-	H	H	CH ₂	4-NHC(O)-(2,6-Cl ₂)Ph;
	Tol				
(CH ₂) ₂	4-	H	H	CH ₂	4-NHC(O)-(2,4,6-Cl ₃)Ph;
	Tol				
(CH ₂) ₂	4-	H	H	CH ₂	4-NHC(O)-[2,6-(OMe) ₂]Ph;
	Tol				
CH ₂	Ph	H	H	CH ₂	4-NHC(O)-(2,6-F ₂)Ph;
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-(2,6-Cl ₂)Ph;
(CH ₂) ₂	Ph	H	H	CH ₂	4-[2,6-(OMe) ₂]Ph;
(CH ₂) ₂	4-	H	H	CH ₂	4-NHC(O)-(2-Me)Ph;
	Tol				
(CH ₂) ₂	4-	H	H	CH ₂	4-NHC(O)-(2-Cl)Ph;
	Tol				
(CH ₂) ₂	4-	H	H	CH ₂	4-NHC(O)-(2,6-F ₂)Ph;
	Tol				
(CH ₂) ₂	4-	H	H	CH ₂	4-NHC(O)-(2-CF ₃)Ph;
	Tol				
(CH ₂) ₂	4-	H	H	CH ₂	4-NHC(O)-(2-OCF ₃)Ph;
	Tol				
(CH ₂) ₂	4-	H	H	CH ₂	4-NHC(O)-(2-Br)Ph;
	Tol				
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-(2,6-F ₂)Ph;
CH ₂	Ph	H	H	CH ₂	4-NHC(O)-(2,6-Cl ₂)Ph;
(CH ₂) ₂	4-	H	H	CH ₂	4-[2,6-(OMe) ₂]Ph;
	Tol				
CH ₂	Ph	H	H	CH ₂	4-NHC(O)-[2,6-(OMe) ₂]Ph;
(CH ₂) ₂	4-	H	H	CH ₂	4-CC-(4-t-butyl)Ph;
	Tol				
(CH ₂) ₂	4-	H	H	CH ₂	4-CC-Ph;
	- -				

Serial No. 09/891,602

Tol					
(CH ₂) ₂	4-	H	H	CH ₂	4-NHC(O)-Ph;
	Tol				
(CH ₂) ₂	4-	H	H	CH ₂	4-NHC(O)-[4-C(O)-[2,5-(OMe) ₂]Ph]Ph;
	Tol				
(CH ₂) ₂	4-	H	H	CH ₂	4-NHC(O)-CH ₂ -(2,6-Cl ₂)Ph;
	Tol				
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-NH-(2,6-Cl ₂)Ph;
(CH ₂) ₂	Ph	H	H	CH ₂	4-OCH ₂ -(2,6-Cl ₂)Ph;
(CH ₂) ₂	4-	H	H	CH ₂	4-OCH ₂ -Ph;
	Tol				
(CH ₂) ₂	4-	H	H	CH ₂	4-NHC(O)-(2,4,6-isopropyl ₃)Ph;
	Tol				
(CH ₂) ₂	4-	H	H	CH ₂	4-(1H-pyrrol-1-yl);
	Tol				
(CH ₂) ₂	4-	H	H	CH ₂	4-Ph;
	Tol				
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-NH-(2,6-F ₂)Ph;
(CH ₂) ₂	4-	H	H	CH ₂	3-NHC(O)-(2,6-F ₂)Ph;
	Tol				
(CH ₂) ₂	4-	H	H	CH ₂	3-NHC(O)-[2,6-(OMe) ₂]Ph;
	Tol				
(CH ₂) ₂	4-	H	H	CH ₂	3-NHC(O)-(2,6-Cl ₂)Ph;
	Tol				
(CH ₂) ₂	Ph	H	CH ₃	CH ₂	4-OCH ₂ -(2,6-Cl ₂)Ph;
(CH ₂) ₂	Ph	CH ₃	H	CH ₂	4-NHC(O)-(2,6-Cl ₂)Ph;
(CH) ₂	Ph	H	H	CH ₂	4-OCH ₂ -(2,6-Cl ₂)Ph;
(CH ₂) ₂	Ph	H	H	CH ₂	4-OCH ₂ -(2,6-Cl ₂)Ph;
(CH) ₂	Ph	H	H	CH ₂	4-NHC(O)-(2,6-Cl ₂)Ph;
(CH ₂) ₂	Ph	H	H	CH ₂	4-(2,4,6-F ₃)Ph;

Serial No. 09/891,602

(CH ₂) ₂	Ph	H	H	CH ₂	4-(2,3,5,6-F ₄)Ph;
(CH ₂) ₂	Ph	H	H	CH ₂	4-O-t-butoxy;
(CH ₂) ₂	Ph	H	H	(CH ₂) ₂	---
(CH ₂) ₂	Ph	H	H	CH ₂	4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl);
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-(2-CO ₂ H)Ph;
(CH ₂) ₂	Ph	H	H	CH ₂	4-(2,5-diMe-1H-pyrrol-1-yl);
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-4-pyridinyl;
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHSO ₂ -(2,6-Cl ₂)Ph;
(CH ₂) ₂	Ph	H	H	CH ₂	4-OC(O)-N(CH ₃) ₂ ;
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-(1-t-butoxycarbonyl)4-piperidinyl;
(CH ₂) ₂	4-FPh	H	H	CH ₂	4-NHC(O)-(2,6-Cl ₂)Ph;
(CH ₂) ₂	4-FPh	H	H	CH ₂	4-NHC(O)-[2,6-(OMe) ₂]Ph;
(CH ₂) ₂	Ph	H	H	CH ₂	4-OC(O)-4-morpholinyl;
(CH ₂) ₂	Ph	H	H	CH ₂	4-OC(O)N(iso-propyl) ₂ ;
(CH ₂) ₂	Ph	H	H	CH ₂	4-t-butyl;
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-4-piperidinyl;
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-(3,5-Cl ₂)4-pyridinyl;
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-NMe ₂ ;
(CH ₂) ₂	Ph	H	H	CH ₂	3-F-4-[OCH ₂ (2,6-Cl ₂)Ph];
(CH ₂) ₂	2-Thi	H	H	CH ₂	4-OC(O)-NMe ₂ ;
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-t-butyl;

Serial No. 09/891,602

(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-(2-OMe)1-naphthalenyl;
(CH ₂) ₂	2-Thi	H	H	CH ₂	4-NHC(O)-(2,6-Cl ₂)Ph;
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-cyclopropyl;
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-(2,2,3,3-Me ₄)cyclopropyl;
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-iso-propyl;
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-(2-SO ₂ Ph)-2-azabicyclo[2.2.2]oct-3-yl;
(CH ₂) ₂	2-Thi	H	H	CH ₂	4-NHC(O)-(3,5-Cl ₂)4-pyridinyl;
(CH ₂) ₂	Ph	H	H	CH ₂	4-NHC(O)-(2-Me)cyclopropyl;
(CH ₂) ₂	Ph	H	H	CH ₂	4-(2,6-diMe)Ph;
(CH ₂) ₂	Ph	H	H	CH ₂	4-(2,6-Cl ₂)Ph;
(CH ₂) ₂	2-Thi	H	H	CH ₂	4-(2,6-Cl ₂)Ph;
(CH ₂) ₂	2-Thi	H	H	CH ₂	4-(2,6-diMe)Ph;
(CH ₂) ₂	2-Thi	H	H	CH ₂	4-[2,6-(OMe) ₂]Ph;
(CH ₂) ₂	2-Thi	H	H	CH ₂	4-(4-fluoro-1,3-dihydro-1,3-dioxo-2H-isocindol-2-yl);
(CH ₂) ₂	2-Thi	H	H	CH ₂	4-NHC(O)-NMe ₂ ;
(CH ₂) ₂	2-Thi	H	H	CH ₂	4-OC(O)-NMe ₂ ;
(CH ₂) ₂	2--	H	H	CH ₂	4-OC(O)-(4-morpholinyl);

Serial No. 09/891,602

Thi

(CH ₂) ₂	2-	H	H	CH ₂	4-OC(O)-(4-Me-1-piperazinyl);
(CH ₂) ₂	Ph	H	H	CH ₂	4-OC(O)-(4-Me-1-piperazinyl);
(CH ₂) ₂	Ph	H	H	CH ₂	4-N(Me)C(O)-(2,6-Cl ₂)Ph;
(CH ₂) ₂	2-	H	H	CH ₂	4-N(Me)C(O)-(3,5-Cl ₂)4-pyridinyl;
(CH ₂) ₂	2-	H	H	CH ₂	4-N(Me)C(O)-(3,5-Cl ₂)4-pyridinyl;
(CH ₂) ₂	2-	H	H	CH ₂	4-N(Me)C(O)-(2,6-Cl ₂)Ph;
(CH ₂) ₂	2-	H	H	CH ₂	4-OCH ₂ -(2,6-Cl ₂)Ph;
(CH ₂) ₂	2-	H	H	CH ₂	4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl);
(CH ₂) ₂	Ph	H	H	CH ₂	4-(1,3-dihydro-4,7-dimethyl-1,3-dioxo-2H-isoindol-2-yl);
(CH ₂) ₂	2-	H	H	CH ₂	4-(1,3-dihydro-4,7-dimethyl-1,3-dioxo-2H-isoindol-2-yl);
CH ₂	2-	H	H	CH ₂	4-NHC(O)-(3,5-Cl ₂)4-pyridinyl;
CH ₂	2-	H	H	CH ₂	4-NHC(O)-(2,6-Cl ₂)Ph;
(CH ₂) ₂	Ph	H	H	CH ₂	4-(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl);
(CH ₂) ₂	Ph	H	H	CH ₂	4-(4-chloro-1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl);

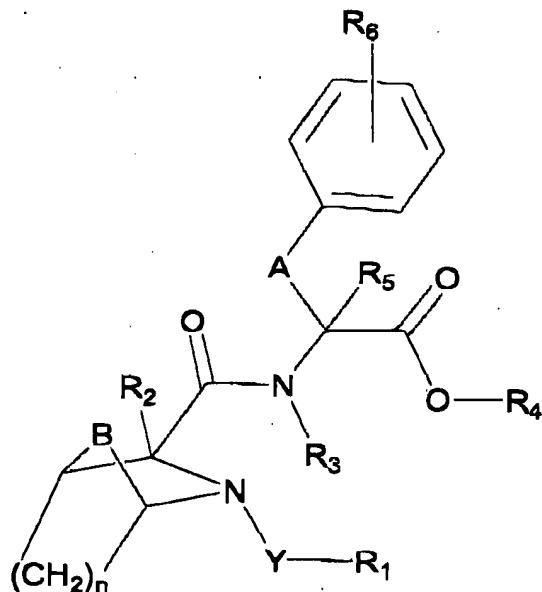
Serial No. 09/891,602

and,

(CH₂)₂ Ph H H CH₂ 4-(7,9-dioxo-8-
azaspiro[4.5]dec-8-yl);

and pharmaceutically acceptable salts, racemic mixtures,
diastereomers and enantiomers thereof.

24
Claim 25. (Previously Amended) A compound having Formula
(II):



wherein

Y is selected from the group consisting of -C(O)- and -SO₂-;R₁ is selected from the group consisting of R₇ and R₈;

Serial No. 09/891,602

R₂, R₃, R₄ and R₅ are independently selected from the group consisting of a bond, hydrogen and C₁₋₈alkyl; wherein C₁₋₈alkyl is optionally substituted with one to three substituents independently selected from R₉; provided that R₂, R₃, R₄ and R₅ can only be a bond when forming a monocyclic ring wherein the following monocyclic rings may be formed from R₂, R₃, R₄ and R₅:

when R₂ and R₃ comprise a bond and C₁₋₈alkyl or optionally when both R₂ and R₃ are C₁₋₈alkyl, R₂ and R₃ together with the atoms to which each are attached form a four to seven membered monocyclic ring optionally containing one to two additional heteroatoms independently selected from the group consisting of N, O and S;

when R₃ and R₄ comprise a bond and C₁₋₈alkyl or optionally when both R₃ and R₄ are C₁₋₈alkyl, R₃ and R₄ together with the atoms to which each are attached form a five to seven membered monocyclic ring optionally containing one to two additional heteroatoms independently selected from the group consisting of N, O and S;

when R₃ and R₅ comprise a bond and C₁₋₈alkyl or optionally when both R₃ and R₅ are C₁₋₈alkyl, R₃ and R₅ together with the atoms to which each are attached form a four to seven membered monocyclic ring optionally containing one to two additional heteroatoms independently selected from the group consisting of N, O and S;

when R₄ and R₅ comprise a bond and C₁₋₈alkyl or optionally when both R₄ and R₅ are C₁₋₈alkyl, R₄ and R₅ together with the atoms to which each are attached form a four to seven membered monocyclic ring optionally containing one to two

Serial No. 09/891,602

additional heteroatoms independently selected from the group consisting of N, O and S;

R₆ is optionally present and is one to three substituents independently selected from the group consisting of halogen, C₁₋₈alkoxy, R₁₀, R₁₂, -N(R₁₁)C(O)-R₁₀, -N(R₁₁)C(O)-R₁₂, -N(R₁₁)SO₂-R₁₀, -N(R₁₁)SO₂-R₁₂, -N(R₁₁)C(O)-N(R₁₁, R₁₀), -N(R₁₁)C(O)-N(R₁₁, R₁₂), -N(R₁₁)C(O)-N(R₁₂, R₁₇), -C(O)-N(R₁₁, R₁₀), -C(O)-N(R₁₁, R₁₂), -C(O)-N(R₁₂, R₁₇), -OC(O)-N(R₁₁, R₁₀), -OC(O)-N(R₁₁, R₁₂), -OC(O)-N(R₁₂, R₁₇), -OC(O)-R₁₀, -OC(O)-R₁₂, -O-R₁₀ and R₁₀-(C₁₋₈)alkoxy;

R₇, R₉, R₁₀ and R₁₄ are independently selected from the group consisting of cycloalkyl, heterocyclyl, aryl and heteroaryl optionally substituted with one to five substituents independently selected from the group consisting of halogen, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, C₁₋₈alkylcarbonyl, C₁₋₈alkoxycarbonyl, carboxyl, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃; wherein cycloalkyl and heterocyclyl are optionally substituted with one to three oxo substituents ; and, wherein the aryl and heteroaryl substituents and the aryl portion of the arylcarbonyl substituent are optionally substituted with one to five substituents independently selected from the group consisting of halogen, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, carboxyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃;

R₈, R₁₂, R₁₃ and R₁₇ are independently selected from the group consisting of C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, and (halo)₁₋₃(C₁₋₈)alkyl; wherein C₁₋₈alkyl, C₂₋₈alkenyl and C₂₋₈alkynyl are optionally substituted on a terminal carbon

Serial No. 09/891,602

with one to three substituents independently selected from R₁₄;

R₁₁ is selected from the group consisting of hydrogen and C₁₋₈alkyl;

A is C₁₋₄alkylene optionally substituted with one to two substituents independently selected from R₁₃;

when R₃ is C₁₋₈alkyl, optionally A and R₃ together with the atoms to which each is attached form a five to seven membered monocyclic ring optionally containing one to two additional heteroatoms independently selected from the group consisting of N, O and S;

when R₄ is C₁₋₈alkyl, optionally A and R₄ together with the atoms to which each is attached form a five to seven membered monocyclic ring optionally containing one additional heteroatom selected from the group consisting of N, O and S;

when R₅ is C₁₋₈alkyl, optionally A and R₅ together with the atoms to which each is attached form a three to seven membered monocyclic ring optionally containing one to two heteroatoms independently selected from the group consisting of N, O and S;

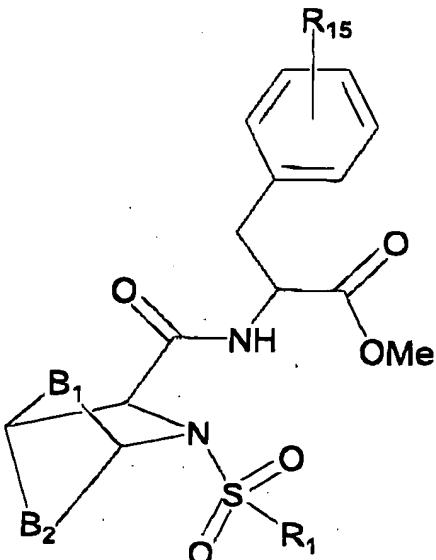
B is selected from the group consisting of C₁₋₂alkylene and C₂alkenylene optionally substituted with one to two substituents independently selected from the group consisting of halogen, hydroxy, hydroxy(C₁₋₈)alkyl, hydroxy(C₁₋₈)alkoxy, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, carboxyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃; and,

Serial No. 09/891,602

n is an integer from 1 to 2;

and pharmaceutically acceptable salts, racemic mixtures,
diastereomers and enantiomers thereof.

25
Claim 26. (Currently Amended) A process for preparing a
compound of Formula (III):



Formula (III)

wherein

R₁ is selected from the group consisting of R₇ and R₈;

R₇, R₁₀, and R₁₄ are independently selected from the group
consisting of cycloalkyl, heterocyclyl, aryl and heteroaryl

Serial No. 09/891,602

optionally substituted with one to five substituents independently selected from the group consisting of halogen, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, C₁₋₈alkylcarbonyl, C₁₋₈alkoxycarbonyl, carboxyl, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃; wherein cycloalkyl and heterocyclyl are optionally substituted with one to three oxo substituents ; and, wherein the aryl and heteroaryl substituents and the aryl portion of the arylcarbonyl substituent are optionally substituted with one to five substituents independently selected from the group consisting of halogen, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, carboxyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃;

R₈, R₁₂ and R₁₇, are independently selected from the group consisting of C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, and (halo)₁₋₃(C₁₋₈)alkyl; wherein C₁₋₈alkyl, C₂₋₈alkenyl and C₂₋₈alkynyl are optionally substituted on a terminal carbon with one to three substituents independently selected from R₁₄;

R₁₅, R₁₆ is selected from the group consisting of hydroxy, amino, NO₂ and R₆;

R₆ is optionally present and is one to three substituents independently selected from the group consisting of halogen, C₁₋₈alkoxy, R₁₀, R₁₂, -N(R₁₁)C(O)-R₁₀, -N(R₁₁)C(O)-R₁₂, -N(R₁₁)SO₂-R₁₀, -N(R₁₁)SO₂-R₁₂, -N(R₁₁)C(O)-N(R₁₁, R₁₀), -N(R₁₁)C(O)-N(R₁₁, R₁₂), -N(R₁₁)C(O)-N(R₁₂, R₁₇), -C(O)-N(R₁₁, R₁₀), -C(O)-N(R₁₂, R₁₇), -C(O)-N(R₁₁, R₁₂), -OC(O)-N(R₁₁, R₁₀), -OC(O)-N(R₁₂, R₁₇), -OC(O)-R₁₀, -OC(O)-R₁₂, -O-R₁₀ and R₁₀-(C₁₋₈)alkoxy;

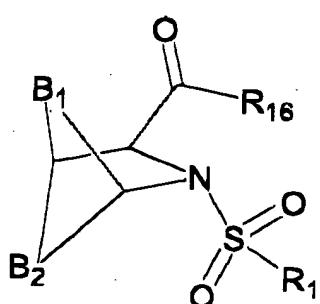
Serial No. 09/891,602

R₁₁ is selected from the group consisting of hydrogen and C₁₋₈alkyl; and,

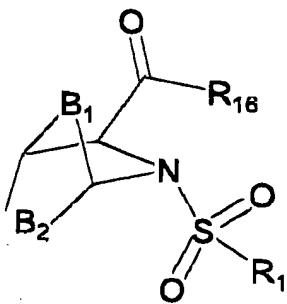
B₁ and B₂ are independently selected from the group consisting of C₁₋₂alkylene and C₂alkenylene optionally substituted with one to two substituents independently selected from the group consisting of halogen, hydroxy, hydroxy(C₁₋₈)alkyl, hydroxy(C₁₋₈)alkoxy, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, carboxyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃;

and pharmaceutically acceptable salts, racemic mixtures, diastereomers and enantiomers thereof;

comprising reacting a compound of Formula (IV)



Formula (IV)



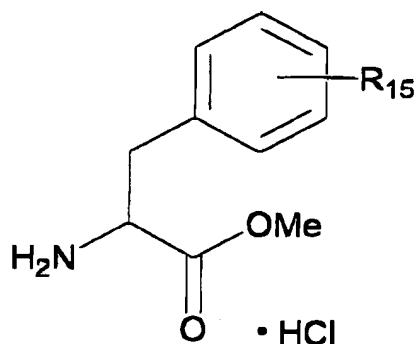
Formula (IV)

Serial No. 09/891,602

wherein

R₁₆ is selected from the group consisting of halogen, mixed anhydride and hydroxy;

with a compound of Formula (V)



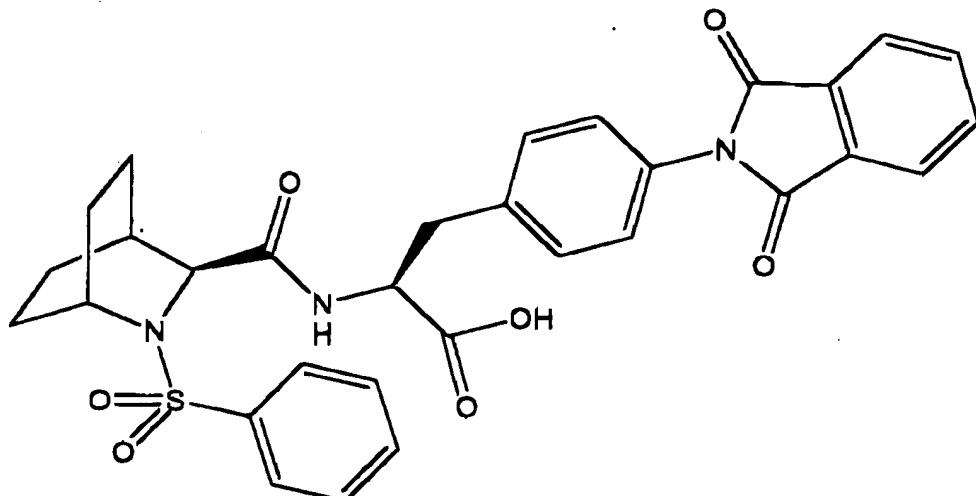
Formula (V);

in the presence of appropriate coupling agents, bases and solvents to form the compound of Formula (II).

26
Claim 26. (Original) The process of claim 25 wherein R₁₅ is selected from the group consisting of hydroxy, iodine, bromine and NO₂.

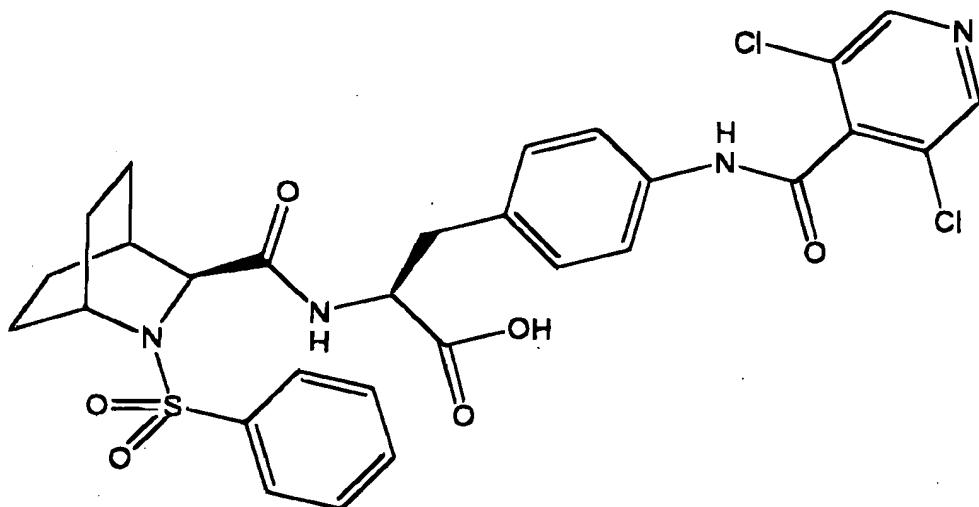
27
Claim 27. (Original) The compound of claim 1 wherein the compound of Formula (I) is selected from a compound of the formula:

Serial No. 09/891,602



28

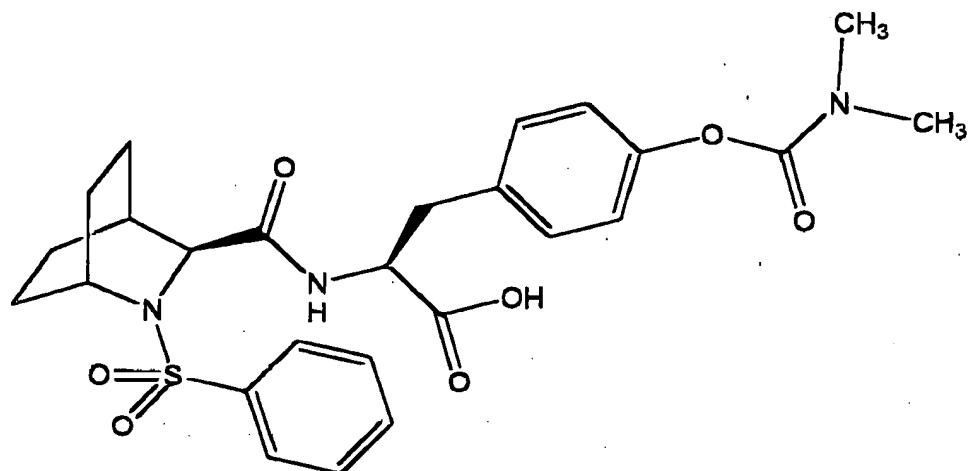
Claim 29. (Original) The compound of claim 1 wherein the compound of Formula (I) is selected from a compound of the formula:



29

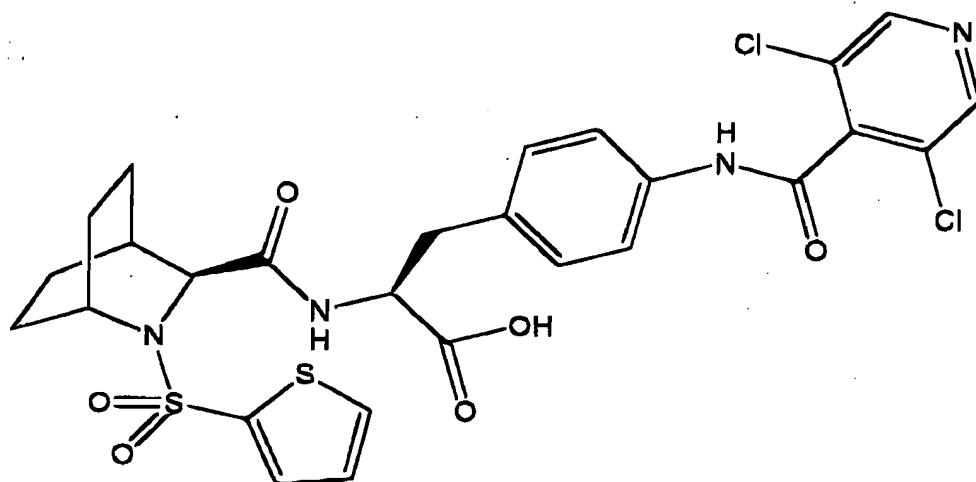
Claim 30. (Original) The compound of claim 1 wherein the compound of Formula (I) is selected from a compound of the formula:

Serial No. 09/891,602



30

Claim 31. (Original) The compound of claim 1 wherein the compound of Formula (I) is selected from a compound of the formula;



31

Claim 32. (Original) The compound of claim 1 wherein the compounds are effective antagonists of an integrin receptor.

32

Claim 33. (Original) The compound of claim 32 wherein the compound is a selective antagonist of an $\alpha 4$ integrin receptor.

31

Serial No. 09/891,602

33
Claim 34. (Original) The compound of claim 33 wherein the $\alpha 4$ integrin receptor is selected from the group consisting of the $\alpha 4\beta 1$ and $\alpha 4\beta 7$ integrin receptor.

34
Claim 35. (Original) The compound of claim 32 wherein the compound is an antagonist of at least two $\alpha 4$ integrin receptors.

35
Claim 36. (Original) The compound of claim 35 wherein the two $\alpha 4$ integrin receptors are selected from the group consisting of the $\alpha 4\beta 1$ and $\alpha 4\beta 7$ integrin receptor.

Claims 37-43 (Canceled)

36
Claim 44. (Original) A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

37
Claim 45. (Original) A pharmaceutical composition made by mixing a compound of claim 1 and a pharmaceutically acceptable carrier.

38
Claim 46. (Original) A method for the treatment of an integrin mediated disorder ameliorated by inhibition of an $\alpha 4$ integrin receptor comprising administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1.

Claim 47. (Canceled)

39
Claim 48. (Original) The method of claim 47 wherein the $\alpha 4$ integrin receptor is selected from the group consisting of the $\alpha 4\beta 1$ and $\alpha 4\beta 7$ integrin receptor.

Serial No. 09/891,602

40 *38*
Claim 49. (Original) The method of claim 46 wherein the compound inhibiting the α_4 integrin receptor is selected from the group consisting of a selective antagonist of the $\alpha_4\beta_1$ integrin receptor, a selective antagonist of the $\alpha_4\beta_7$ integrin receptor and an antagonist of the $\alpha_4\beta_1$ and $\alpha_4\beta_7$ integrin receptors.

41 *38*
Claim 50. (Original) The method of claim 46 wherein the integrin mediated disorder is selected from the group consisting of inflammatory disorders, autoimmune disorders and cell-proliferative disorders.

42 *38*
Claim 51. (Original) The method of claim 46 wherein the integrin mediated disorder is selected from the group consisting of inflammation disorders, autoimmunity disorders, asthma, bronchoconstriction, restenosis, atherosclerosis, psoriasis, rheumatoid arthritis, inflammatory bowel disease, irritable bowel disease, irritable bowel syndrome, transplant rejection and multiple sclerosis.

43 *38*
Claim 52. (Previously Amended) The method of claim 46 wherein the integrin mediated disorder is selected from the group consisting of asthma, bronchoconstriction, restenosis, atherosclerosis, psoriasis, rheumatoid arthritis, inflammatory bowel disease, irritable bowel disease, irritable bowel syndrome, transplant rejection and multiple sclerosis.

44 *38*
Claim 53. (Previously Amended) The method of claim 46 wherein the integrin mediated disorder is selected from the group consisting of asthma, bronchoconstriction, restenosis, atherosclerosis, irritable bowel syndrome and multiple sclerosis.

Serial No. 09/891,602

45 *38*
Claim 54. (Original) The method of claim *46* wherein the therapeutically effective amount of the compound of claim 1 is from about 0.01 mg/kg/day to about 300 mg/kg/day.

46 *38*
Claim 55. (Previously Amended) The method of claim *46* further comprising administering to a subject in need thereof a therapeutically effective amount of the pharmaceutical composition of compound of claim 1 and a pharmaceutically acceptable excipient.

47 *46*
Claim 56. (Previously Amended) The method of claim *55* wherein the therapeutically effective amount of the pharmaceutical composition of compound of claim 1 and a pharmaceutically acceptable excipient is from about 0.01 mg/kg/day to about 300 mg/kg/day.

48
Claim 57. (Original) The compound of claim 1 wherein R₁ is selected from the group consisting tolyl, phenyl and thienyl.

49 *38*
Claim 58. (Previously Amended) The method of claim *46* wherein the integrin mediated disorder is a cell-proliferation disorders.